

Claim Amendments

Please cancel claims 21, 23, and 27 without prejudice, and please amend claims 1, 4-10, 13, 15, 18, 21, 22, 26, 32-34, 36, 37, and 40-42, as follows. This listing of claims will replace all prior versions and listings of claims in the instant application.

Listing of Claims:

1. (Currently Amended) An antimicrobial sulfonamide derivative, or a salt or a hydrate thereof, comprising:

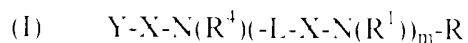
a core cyclic peptide or core antibiotic of a lipopeptide antibiotic; and
a lipophilic moiety.

wherein said lipophilic moiety is covalently attached to the core cyclic peptide or core ~~cyclic~~ antibiotic *via* a linking chain which includes a sulfonamide linkage and wherein said core cyclic peptide or core antibiotic is not of laspartomycin or polymyxin.

2. (Original) The antimicrobial sulfonamide derivative, salt or hydrate of Claim 1 in which the linking chain is a sulfonamide linkage.

3. (Original) The antimicrobial sulfonamide derivative, salt or hydrate of Claim 1 in which the linking chain is a linker that links the core cyclic peptide or core antibiotic to the lipophilic moiety.

4. (Currently Amended) The antimicrobial sulfonamide derivative, salt or hydrate of Claim 1 which is a compound according to structural Formula (I):



wherein:

Y is a lipophilic moiety;

~~Each~~each X is independently selected from the group consisting of -co-SO₂-, -CS-, -PO-, -OP(O)-, -OC(O)-, -NHCO and ~~N(R¹)CO-~~N(R¹)CO- with the proviso that at least one X is -SO₂-;

M is 0 or 1;

L is a linker;

N is nitrogen;

R¹ and R⁴ are each independently selected from the group consisting of hydrogen, (C₁-C₂₅) alkyl optionally substituted with one or more of the same or different R² groups, (C₁-C₂₅) heteroalkyl optionally substituted with one or more of the same or different R² groups, (C₅-C₃₀) aryl optionally substituted with one or more of the same or different R² groups, (C₅-C₃₀) arylaryl optionally substituted with one or more of the same or different R² groups, (C₅-C₃₀) biaryl optionally substituted with one or more of the same or different R² groups, five to thirty membered heteroaryl optionally substituted with one or more of the same or different R² groups, (C₆-C₃₀) arylalkyl optionally substituted with one or more of the same or different R₂ groups and six to thirty membered heteroarylalkyl optionally substituted with one or more of the same or different R₂ groups;

each R² is independently selected from the group consisting of -OR³, -SR³, -NR³R³, -CN, -NO₂, -N³, -C(O)OR³, -C(O)NR³R³, -C(S)NR³R³, -C(NR³)NR³R³, -CHO, -R³CO, -SO₂R³, -SOR³, -PO(OR³)₂, -PO(OR³), -CO₂H, -SO₃H, -PO₃H, halogen and trihalomethyl;

each R³ is independently selected from the group consisting of hydrogen, (C₁-C₆) alkyl, (C₅-C₁₀) aryl, five to sixteen membered heteroaryl, (C₆-C₁₆) arylalkyl and six to sixteen membered heteroarylalkyl; and

R is a core cyclic peptide or core antibiotic of a lipopeptide antibiotic, wherein said core cyclic peptide or core antibiotic is not of laspartomycin or polymyxin.

5. (Currently Amended) The antimicrobial sulfonamide derivative of Claim 4 in which R is the core cyclic peptide of ~~laspartomycin~~, zaomycin, crystallomycin, aspartocin,

amphomycin, glumamycin, brevistin, cerexin A, cerexin B, Antibiotic A-30912, Antibiotic A-1437, Antibiotic A-54145, Antibiotic A-21978C or tsushimycin.

6. (Currently Amended) The antimicrobial sulfonamide derivative of Claim 4 in which R is the core antibiotic of ~~laspartomycin~~, zaomycin, crystallomycin, aspartocin, amphomycin, glumamycin, brevistin, cerexin A, cerexin B, Antibiotic A-30912, Antibiotic A-1437, Antibiotic A-54145, Antibiotic A-21978C or tsushimycin.

7. (Currently Amended) The antimicrobial sulfonamide derivative of Claim 4 in which R is the core cyclic peptide of ~~laspartomycin~~, aspartocin, Antibiotic A-30912, Antibiotic A-1437, Antibiotic A-54145 or Antibiotic A-21978C.

8. (Currently Amended) The antimicrobial sulfonamide derivative of Claim 4 in which R is the core antibiotic of ~~laspartomycin~~, aspartocin, Antibiotic A-30912, Antibiotic A-1437, Antibiotic A-54145 or Antibiotic A-21978C.

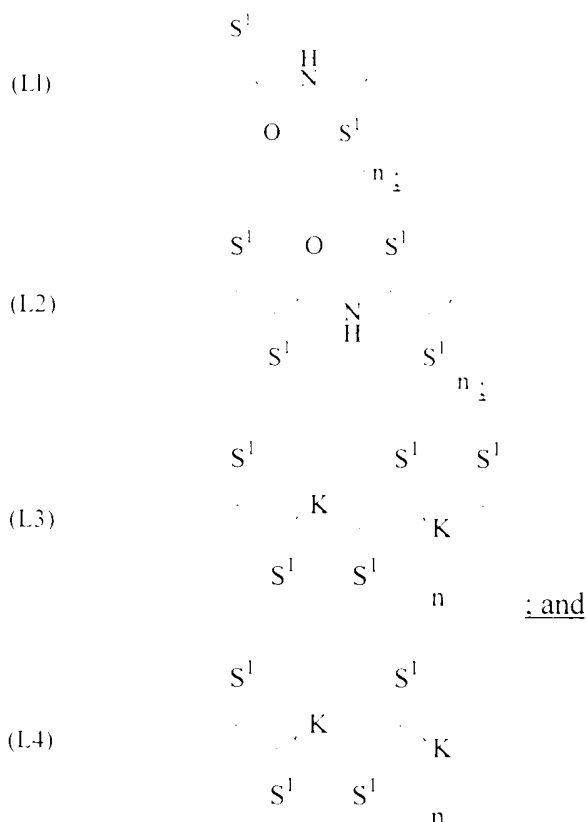
9. (Currently Amended) The antimicrobial sulfonamide derivative of Claim 4 in which R is the core cyclic peptide of ~~laspartomycin~~ or aspartocin.

10. (Currently Amended) The antimicrobial sulfonamide derivative of Claim 4 in which R is the core antibiotic of ~~laspartomycin~~ or aspartocin.

11. (Original) The antimicrobial sulfonamide derivative of Claim 4 in which m is 1.

12. (Original) The antimicrobial sulfonamide derivative of Claim 4 in which R¹ and R⁴ are hydrogen.

13. (Currently Amended) The antimicrobial sulfonamide derivative of Claim 4 in which L is selected from the group consisting of:



or a pharmaceutically acceptable salt or hydrate thereof, wherein:

n is 0, 1, 2 or 3;

each S^1 is independently selected from the group consisting of hydrogen, $(C_1 - C_{10})$ alkyl optionally substituted with one or more of the same or different R^5 groups, $(C_1 - C_{10})$ heteroalkyl optionally substituted with one or more of the same or different R^5 groups, $(C_5 - C_{10})$ aryl optionally substituted with one or more of the same or different R^5 groups, $(C_5 - C_{15})$ arylaryl optionally substituted with one or more of the same or different R^5 groups, $(C_5 - C_{15})$ biaryl optionally substituted with one or more of the same or different R^5 groups, five to ten membered heteroaryl optionally substituted with one or more of the same or different R^5 groups, $(C_6 - C_{16})$ arylalkyl optionally substituted with one or more of the same or different R^5 groups and six to sixteen membered heteroarylalkyl optionally substituted with one or more of the same or different R^5 groups;

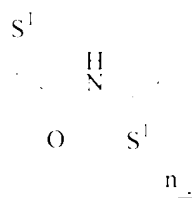
each R^5 is independently selected from the group consisting of $-OR^6$, $-SR^6$, $-NR^6R^6$, $-CN$, $-NO_2$, $-N_3$, $-C(O)OR^6$, $-C(O)NR^6R^6$, $-C(S)NR^6R^6$, $-C(NR^6)NR^6R^6$, $-CHO$, $-R^6CO$, $-SO_2R^6$, $-SOR^6$, $-PO(OR^6)_2$, $-PO(OR^6)$, $-CO_2H$, $-SO_3H$, $-PO_3H$, halogen and trihalomethyl;

each R^6 is independently selected from the group consisting of hydrogen, (C_1-C_6) alkyl, (C_5-C_{10}) aryl, five to sixteen membered heteroaryl, (C_6-C_{16}) arylalkyl and six to sixteen membered heteroarylalkyl; and

each K is independently selected from the group consisting of oxygen, nitrogen and sulfur.

14. (Original) The antimicrobial sulfonamide of Claim 13 in which each S^1 is independently a side-chain of a genetically encoded α -amino acid.

15. (Currently Amended) The antimicrobial sulfonamide of Claim 13 in which L is:



16. (Original) The antimicrobial sulfonamide derivative of Claim 15 in which each S^1 is independently a side-chain of a genetically encoded α -amino acid.

17. (Original) The antimicrobial sulfonamide derivative of Claim 15 in which n is 0.

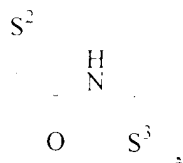
18. (Currently Amended) The ~~compound~~ antimicrobial sulfonamide derivative of Claim 17 in which S^1 is hydrogen, X^2-Y is decan-yl and R is the core cyclic peptide of aspartocin.

19. (Original) The antimicrobial sulfonamide derivative of Claim 17 in which S^1 is $-\text{CH}_2\text{-CO}_2\text{H}$, $-\text{CH}_2\text{-CH}_2\text{-CO}_2\text{H}$, $-\text{C}(\text{OH})\text{H-CONH}_2$, $-\text{CH}_2\text{-CONH}_2$ or $-\text{CH}_2\text{-CH}_2\text{-CONH}_2$ or a salt or hydrate thereof.

20. (Original) The antimicrobial sulfonamide derivative of Claim 17 in which S^1 is $-\text{CH}_2\text{-indol-2-yl}$ or $-\text{CH}_2\text{-phenyl}$.

21. (Cancelled)

22. (Currently Amended) The antimicrobial sulfonamide derivative of Claim 13 in which L is:



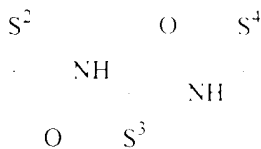
wherein S^2 and S^3 are each independently a side chain of a genetically encoded α -amino acid.

23. (Cancelled)

24. (Original) The antimicrobial sulfonamide derivative of Claim 22 in which S^2 is hydrogen, $-\text{CH}_2\text{-indol-2-yl}$, $-\text{CH}_2\text{-CONH}_2$ or $-\text{CH}_2\text{-CH}_2\text{-CONH}_2$ and S^3 is $-\text{CH}_2\text{-CO}_2\text{H}$, $-\text{CH}_2\text{-CH}_2\text{-CO}_2\text{H}$ or a salt or hydrate thereof.

25. (Original) The antimicrobial sulfonamide derivative of Claim 22 in which S^2 is $-\text{CH}_2\text{-CO}_2\text{H}$, $-\text{CH}_2\text{-CH}_2\text{-CO}_2\text{H}$ or a salt or hydrate thereof and S^3 is $-\text{C}(\text{OH})\text{H-CONH}_2$.

26. (Currently Amended) The antimicrobial sulfonamide derivative of Claim 13 in which L is:



wherein S^2 , S^3 , and S^4 are each independently a side chain of a genetically

27. (Cancelled)

28. (Original) The antimicrobial sulfonamide derivative of Claim 26 in

29. (Original) The antimicrobial sulfonamide derivative of Claim 26 in

30. (Original) The antimicrobial sulfonamide derivative of Claim 4 in

31. (Original) The antimicrobial sulfonamide derivative of Claim 30 in

32. (Currently Amended) The antimicrobial sulfonamide derivative of Claim

33. (Currently Amended) The antimicrobial sulfonamide derivative of Claim

34. (Currently Amended) A pharmaceutical composition comprising a ~~compound~~an antimicrobial sulfonamide derivative according to Claim 4 and a pharmaceutically acceptable adjuvant, excipient, carrier or diluent.

35. (Original) A method for treating or preventing a microbial infection, said method comprising the step of administering to a subject a therapeutically effective amount of a compound according to Claim 4 or a therapeutically effective amount of a pharmaceutical composition according to Claim 34.

36. (Currently Amended) A method of inhibiting microbial growth, said method comprising the step of administering to a microbe an antimicrobially effective amount of ~~a compound~~an antimicrobial sulfonamide derivative according to Claim 4 or an antimicrobially effective amount of a pharmaceutical composition according to Claim 34.

37. (Currently Amended) A method for making an antimicrobial sulfonamide derivative comprising sulfonylating ~~an~~a core antibiotic or core cyclic peptide with a lipophilic sulfonyl derivative, thereby providing ~~a~~an antimicrobial sulfonamide derivative.

38. (Original) The method of Claim 37 in which the lipophilic sulfonyl derivative is a activated lipophilic sulfonyl ester or a lipophilic sulfonyl halide.

39. (Original) The method of Claim 38 in which the activated lipophilic sulfonyl ester is a lipophilic hydroxybenzotriazole ester.

40. (Currently Amended) The method of ~~Claim 39~~Claim 38 in which the lipophilic sulfonyl halide is a lipophilic sulfonyl chloride.

41. (Currently Amended) A method for making an antimicrobial sulfonamide derivative comprising:

sulfonylating a linker with a lipophilic sulfonyl compound, thereby providing a lipophilic sulfonamide linker; and

covalently attaching the lipophilic sulfonamide linker to ~~an~~a core antibiotic or core cyclic peptide wherein said core cyclic peptide or core antibiotic is not of polymyxin, thereby yielding ~~a~~an antimicrobial sulfonamide derivative.

42. (Currently Amended) A method for making an antimicrobial sulfonamide derivative comprising:

covalently attaching a linker to ~~an~~a core antibiotic or core cyclic peptide, thereby providing an linker core antibiotic or linker core cyclic peptide; and

sulfonylating the linker core antibiotic or linker core cyclic peptide with a lipophilic sulfonyl derivative, thereby yielding ~~a~~an antimicrobial sulfonamide derivative.